

**Bibliographic Information: WO2004/078743**

**Preparation of benzoylureidopyridylpiperidines for the treatment of type 2 diabetes.** Schoenafinger, Karl; Kadereit, Dieter; Defossa, Elisabeth; Herling, Andreas; Klabunde, Thomas. (Aventis Pharma Deutschland G.m.b.H., Germany). PCT Int. Appl. (2004), 33 pp. CODEN: PIXXD2 WO 2004078743 A1 20040916 Designated States W: AE. Designated States RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, ML, MR, NE, SN, TD, TG. Patent written in German. Application: WO 2004-EP1735 20040221. Priority: DE 2003-10309929 20030307. CAN 141:277497 AN 2004:756707 CAPLUS

**Patent Family Information**

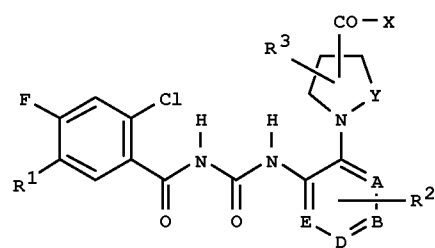
Patent No.	Kind	Date	Application No.	Date
WO 2004078743	A1	20040916	WO 2004-EP1735	20040221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
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DE 10309929	B4	20060223		
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CA 2518322	AA	20040916	CA 2004-2518322	20040221
EP 1603895	A1	20051214	EP 2004-713467	20040221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
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US 2004266768	A1	20041230	US 2004-795863	20040308
NO 2005004418	A	20050923	NO 2005-4418	20050923

Priority Application

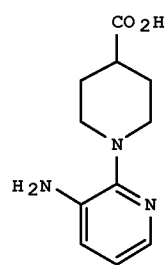
DE 2003-10309929	A	20030307
WO 2004-EP1735	A	20040221
US 2003-487497P	P	20030715

**Abstract**

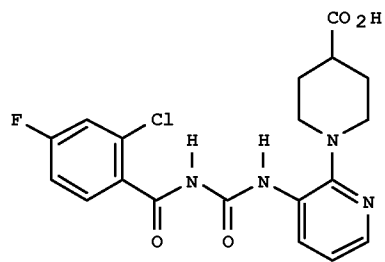
Title compds. I [R1, R2 = H, halo, alkyl, etc.; R3 = H, alkyl, O-alkyl, etc.; X = OH, O-alkyl, NH2, etc.; A, B, D, E = CH, N, with the proviso that one of A, B, D or E is N; Y = (CH2)m; m = 0-2] and their pharmaceutically acceptable salts were prepd. For example, condensation of amine II, e.g., prepd. from 2-chloro-3-nitropyridine in 2-steps, and 2-chloro-4-fluorobenzoylisocyanate, afforded ureidopyridylpiperidine III. In activated glycogen phosphorylase inhibition assays, 4-examples of compds. I exhibited IC50 values ranging from 0.01-3.65  $\mu$ M, the IC50 value of benzoylurea III was 0.04  $\mu$ M. Compds. I were claimed useful for the treatment of type 2 diabetes.



I



II



III